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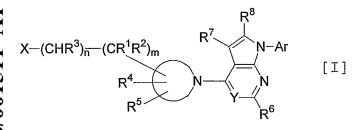
- (74) Agents: ASAMURA, Kiyoshi et al.; Room 331, New Ohtemachi Bldg., 2-1, Ohtemachi 2-chome, Chiyoda-ku, Tokyo 1000004 (JP).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
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For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

#### (54) Title: PYRROLOPYRIMIDINE AND PYRROLOPYRIDINE DERIVATIVES SUBSTITUTED WITH A CYCLIC AMINO **GROUP AS CRF ANTAGONISTS**



(57) Abstract: [PROBLEM TO BE SOLVED] An object of the present invention is to provide an antagonist against CRF receptors and/or an agonist for receptors which is effective as a therapeutic or prophylactic agent for diseases in which CRF is considered to be involved, such as depression, anxiety, Alzheimer's disease, Parkinson's disease, Huntington's chorea, eating disorder, hypertension, gastrointestinal diseases, drug dependence, cerebral infarction, cerebral ischemia, cerebral edema, cephalic external

wound, inflammation, immunity-related diseases, alopecia, irritable bowel syndrome, sleep disorders, epilepsy, dermatitides, schizophrenia, pain, etc. [SOLUTION] A pyrrolopyrimidine or pyrrolopyridine derivative substituted with a cyclic amino group represented by the following formula [I] has a high affinity for CRF receptors and/or  $\delta$  receptors, and is effective against diseases in which CRF is considered to be involved.





International Application No PCT/JP2005/012152

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 CO7D471/04 C07D487/04

CO7F9/38

C07F9/40

A61K31/437 C07D519/00

A61K31/519

A61P25/00

// (C07D471/04,221:00,209:00),(C07D487/04,239:00,209:00),(C07D519/00,471:00,451:00)

According to international Patent Glassification (IPC) or to both national classification and IPC

#### B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 CO7D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

EPO-Internal, WPI Data, PAJ, BEILSTEIN Data, CHEM ABS Data

C. DOCUM	ENTS CONSIDERED TO BE RELEVANT	
Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
Υ	WO 99/51600 A (NEUROGEN CORPORATION; HORVATH, RAYMOND, F; DARROW, JAMES, W; MAYNARD,) 14 October 1999 (1999-10-14) claims 1,38; example 16(g)	1-15
Υ	WO 99/51599 A (NEUROGEN CORPORATION; GE, PING; HORVATH, RAYMOND, F; DE LOMBAERT, STEP) 14 October 1999 (1999-10-14) claims 1,7	1-15
Υ	WO 99/51597 A (NEUROGEN CORPORATION; HORVATH, RAYMOND, F; DARROW, JAMES, W; MAYNARD,) 14 October 1999 (1999-10-14) claims 1,53	CORRECTE!
		VERSION

$\mathbf{x}$	Further documents are listed in the	continuation of box C.

Patent family members are listed in annex.

Special categories of cited documents:

- "A" document defining the general state of the art which is not considered to be of particular relevance
- "E" earlier document but published on or after the international
- "L" document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- "O" document referring to an oral disclosure, use, exhibition or other means
- document published prior to the international filing date but later than the priority date claimed
- "T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the
- "X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- "Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled
- "&" document member of the same patent family

Date of the actual completion of the international search

Date of mailing of the international search report

19 October 2005

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Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2 NL - 2280 HV Rijswijk Tel. (+31-70) 340-2040, Тх. 31 651 еро пl, Fax: (+31-70) 340-3016

Authorized officer

Seymour, L

Interna I Application No
PCT/JP2005/012152

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT  Category Citation of document, with indication, where appropriate, of the relevant passages  Y WO 02/02549 A (TAISHO PHARMACEUTICAL CO., LTD; NAKAZATO, ATSURO; KUMAGAI, TOSHIHITO;) 10 January 2002 (2002-01-10) cited in the application claims 1,13  A WO 94/13676 A (PFIZER INC; CHEN, YUHPYNG, L) 23 June 1994 (1994-06-23) claims 1,11  P,X WO 2004/058767 A (TAISHO PHARMACEUTICAL	, 1-15
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LTD; NAKAZATO, ATSURO; KUMAGAI, TOSHIHITO;) 10 January 2002 (2002-01-10) cited in the application claims 1,13  WO 94/13676 A (PFIZER INC; CHEN, YUHPYNG, L) 23 June 1994 (1994-06-23) claims 1,11  P,X  WO 2004/058767 A (TAISHO PHARMACEUTICAL	, 1-15
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CO., LTD; NAKAZATO, ATSURO; OKUBO, TAKETOSHI; NO) 15 July 2004 (2004-07-15) cited in the application claims 1,43 page 90, scheme 2, compound (11) page 94, lines 16, 17 page 95, scheme 3, compound (18) examples 3,4	

# International application No. PCT/JP2005/012152

# INTERNATIONAL SEARCH REPORT

Box II Observations where certain claims were found unsearchable (Continuation of item 2 of first sheet)
This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:
1. Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:
2. Claims Nos.: because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:
3. Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).
Box III Observations where unity of invention is lacking (Continuation of item 3 of first sheet)
This International Searching Authority found multiple inventions in this international application, as follows:
see additional sheet
1. X As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2. As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3. As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:
Remark on Protest  The additional search fees were accompanied by the applicant's protest.  X  No protest accompanied the payment of additional search fees.

# FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. claims: 1-6(part),7,8-11(part),12,13-15(part)

Compounds of formula I where X is -C02R9, -P(=0)(R12)R13 or -S(=0)kR14 and corresponding compositions and uses

2. claims: 1-6(part),8-11(part),13-15(part)

Compounds of formula I where X is -CON(R10) R11 and corresponding compositions and uses

Information on patent family members

Intern: I Application No PCT/JP2005/012152

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Information on patent family members

Interna I Application No
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